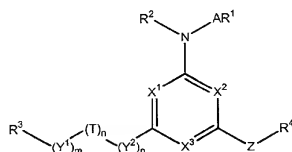


# WHAT IS CLAIMED IS:

1. A method of treating a disease state in a mammal that is alleviable by treatment with an agent capable of increasing ABCA-1 expression, comprising administering to a mammal in need thereof a therapeutically effective dose of a compound of the Formula I:



Formula I

wherein:

m, n and p are independently 0 or 1;

A is -C(Z<sup>1</sup>)-, -C(Z<sup>1</sup>)-NH-, SO<sub>2</sub>, or a covalent bond;

where Z<sup>1</sup> is oxygen or sulfur;

R<sup>1</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl;

R<sup>2</sup> is hydrogen, alkyl, or cycloalkyl; or

R<sup>1</sup>, R<sup>2</sup> and A when taken together with the nitrogen atom to which they are attached form a nitrogen bearing heterocycle;

R<sup>3</sup> is optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl;

R<sup>4</sup> is hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl;

T is -O-, -S(O)<sub>q</sub>, or -NR<sup>5</sup>-;

in which q is 0, 1, or 2, and R<sup>5</sup> is hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl;

X<sup>1</sup>, X<sup>2</sup>, and X<sup>3</sup> are independently -CR<sup>6</sup> or nitrogen, in which R<sup>6</sup> is hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl;

5 with the proviso that at least one of X<sup>1</sup>, X<sup>2</sup>, and X<sup>3</sup> is nitrogen.

Y<sup>1</sup> is lower alkylene or carbonyl;

Y<sup>2</sup> is lower alkylene or oxygen; and

Z is sulfur, oxygen, or -NR<sup>5</sup>.

10 2. The method of claim 1, wherein X<sup>1</sup>, X<sup>2</sup>, and X<sup>3</sup> are all nitrogen.

3. The method of claim 2, wherein R<sup>2</sup> is hydrogen, R<sup>4</sup> is optionally substituted alkyl and Z is sulfur.

15 4. The method of claim 3, wherein R<sup>3</sup> is optionally substituted aryl or optionally substituted heteroaryl,

5. The method of claim 4, wherein m is 0, n is 1, and p is 1.

20 6. The method of claim 5, wherein A is a covalent bond, and R<sup>1</sup> is hydrogen.

7. The method of claim 6, wherein R<sup>3</sup> is optionally substituted phenyl and Y<sup>2</sup> is methylene.

25 8. The method of claim 7, wherein R<sup>4</sup> is alkyl of 1-8 carbon atoms and T is oxygen.

9. The method of claim 8, wherein R<sup>3</sup> is 4-t-butylphenyl and R<sup>4</sup> is methyl, namely 6-{{[4-(tert-butyl)phenoxy]methyl}-4-pentylthio-1,3,5-triazine-2-ylamine.

10. The method of claim 8, wherein R<sup>3</sup> is 4-t-butylphenyl and R<sup>4</sup> is n-pentyl, namely 6-{{[4-(tert-butyl)phenoxy]methyl}-4-pentylthio-1,3,5-triazine-2-ylamine.

11. The method of claim 7, wherein R<sup>4</sup> is alkyl of 1-8 carbon atoms and T is oxygen.

12. The method of claim 11, wherein R<sup>3</sup> is 3-chlorophenyl, R<sup>4</sup> is methyl, and R<sup>5</sup> is hydrogen, namely 4-[(3-chlorophenylamino)methyl]-6-methylthio-[1,3,5]triazin-2-ylamine.

13. The method of claim 11, wherein R<sup>3</sup> is 2,4-dimethoxyphenyl, R<sup>4</sup> is methyl, and R<sup>5</sup> is hydrogen, namely N-{{[(3,5-dimethoxyphenyl)aminomethyl]-4-methylthio-1,3,5-triazine-2-ylamine};

14. The method of claim 5, wherein A is -C(O)NH-, and R<sup>1</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted cycloalkyl, or optionally substituted heterocyclyl.

15. The method of claim 14, wherein R<sup>3</sup> is optionally substituted phenyl and Y<sup>2</sup> is methylene.

16. The method of claim 15, wherein R<sup>4</sup> is alkyl of 1-8 carbon atoms and T is oxygen.

17. The method of claim 16, wherein R<sup>1</sup> is alkyl of 1-6 carbon atoms or alkenyl of 1-6 carbon atoms.

18. The method of claim 17, wherein R<sup>1</sup> is methyl, ethyl, isopropyl, or allyl, and R<sup>3</sup> is 4-tert-butylphenyl.

19. The method of claim 18, chosen from N-(6-{{[4-(tert-butyl)phenoxy]methyl}-

4-methylthio-(1,3,5-triazine-2-yl))(ethylamino)carboxamide; N-(6- {[4-(tert-butyl)phenoxy]methyl}-4-methylthio-(1,3,5-triazine-2-yl))(methylethylamino)-carboxamide; and N-(6- {[4-(tert-butyl)phenoxy]methyl}-4-methylthio-(1,3,5-triazine-2-yl))(prop-2-enylamino)carboxamide.

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20. The method of claim 5, wherein A is -C(O)-, R<sup>2</sup> is hydrogen, and R<sup>4</sup> is alkyl of 1-8 carbon atoms.

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21. The method of claim 20, wherein T is oxygen, R<sup>1</sup> is alkyl of 1-6 carbon atoms or heterocyclyl, and R<sup>3</sup> is optionally substituted phenyl.

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22. The method of claim 21, wherein R<sup>1</sup> is 2-thiophenyl and R<sup>4</sup> is methyl, namely N-(6- {[4-(tert-butyl)phenoxy]methyl}-4-methylthio-1,3,5-triazine-2-thienyl)carboxamide.

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23. The method of claim 1, wherein X<sup>2</sup> and X<sup>3</sup> are nitrogen and X<sup>1</sup> is -CH-.

24. The method of claim 23, wherein R<sup>2</sup> is hydrogen, R<sup>4</sup> is optionally substituted alkyl and Z is sulfur.

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25. The method of claim 24, wherein R<sup>3</sup> is optionally substituted aryl or optionally substituted heteroaryl,

26. The method of claim 25, wherein m is 0, n is 1, and p is 1.

27. The method of claim 26, wherein R<sup>3</sup> is optionally substituted phenyl, Y<sup>2</sup> is methylene, A is a covalent bond, and R<sup>1</sup> is hydrogen.

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28. A method for treating a disease or condition in a mammal that can be usefully treated with a compound that elevates serum levels of HDL cholesterol, comprising

administering to a mammal in need thereof a therapeutically effective dose of a compound of Formula I.

29. The method of claim 28, wherein the disease state or condition is coronary artery disease or atherosclerosis.

30. A method for treating a disease or condition in a mammal related to low HDL cholesterol levels, comprising administering to a mammal in need thereof a therapeutically effective dose of a compound of Formula I.

31. The method of claim 30, wherein the disease state or condition is coronary artery disease or atherosclerosis.

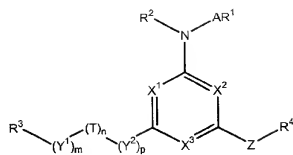
32. A method for treating a disease or condition in a mammal that can be usefully treated with a compound that promotes cholesterol efflux from cells, comprising administering to a mammal in need thereof a therapeutically effective dose of a compound of Formula I.

33. The method of claim 32, wherein the disease state or condition is coronary artery disease or atherosclerosis.

34. A method for treating a condition related to coronary artery disease in a mammal that can be usefully treated with a combination of a compound that elevates serum levels of HDL cholesterol and a compound that lowers LDL cholesterol, comprising administering to a mammal in need thereof a therapeutically effective dose of a compound of Formula I and a compound that lowers LDL cholesterol.

35. The method of claim 34, wherein the LDL cholesterol lowering compound is chosen from clofibrate, gemfibrozil, and fenofibrate, nicotinic acid, mevinolin, mevastatin, pravastatin, simvastatin, fluvastatin, lovastatin, cholestyrene, colestipol and probucol.

36. A compound of the Formula I:



Formula I

wherein:

5 m, n and p are independently 0 or 1;

A is  $-C(Z^1)-$ ,  $-C(Z^1)-NH-$ ,  $SO_2$ , or a covalent bond;

where  $Z^1$  is oxygen or sulfur;

10  $R^1$  is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl;

$R^2$  is hydrogen, alkyl, or cycloalkyl; or

$R^1$ ,  $R^2$  and A when taken together with the nitrogen atom to which they are attached form a nitrogen bearing heterocycle;

15  $R^3$  is optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl;

$R^4$  is hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl;

20 T is  $-O-$ ,  $-S(O)_q$ , or  $-NR^5-$ ;

in which q is 0, 1, or 2, and  $R^5$  is hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl;

25  $X^1$ ,  $X^2$ , and  $X^3$  are independently  $-CR^6$  or nitrogen, in which  $R^6$  is hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl;

with the proviso that at least one of  $X^1$ ,  $X^2$ , and  $X^3$  is nitrogen.

$Y^1$  is lower alkylene or carbonyl;

Y<sup>2</sup> is lower alkylene or oxygen; and

Z is sulfur, oxygen, or -NR<sup>5</sup>-.

with the proviso that when A is a covalent bond, R<sup>1</sup> and R<sup>2</sup> are both hydrogen, and Z is -NH-, m, n, and p cannot all be 0; and

- 5 when m is 0, Y<sup>2</sup> is methylene, and Z is -NH-, R<sup>3</sup> cannot be lower alkyl; and  
when Z is -NH-, R<sup>4</sup> cannot be phenylethyl; and  
when A is a covalent bond, R<sup>1</sup> and R<sup>2</sup> are both hydrogen, Y<sup>2</sup> is methylene, and R<sup>4</sup> is  
methyl or ethyl, R<sup>3</sup> cannot be lower alkyl or unsubstituted phenyl; and  
when A is a covalent bond, R<sup>1</sup> and R<sup>2</sup> are both hydrogen, T is oxygen, Z is nitrogen,  
10 and Y<sup>2</sup> is methylene, R<sup>4</sup> cannot be cycloalkyl or unsubstituted phenyl.

37. The compound of claim 36, wherein X<sup>1</sup>, X<sup>2</sup>, and X<sup>3</sup> are all nitrogen.

- 15 38. The compound of claim 37, wherein R<sup>2</sup> is hydrogen, R<sup>4</sup> is optionally  
substituted alkyl and Z is sulfur.

39. The compound of claim 38, wherein R<sup>3</sup> is optionally substituted aryl or  
optionally substituted heteroaryl,

- 20 40. The compound of claim 39, wherein m is 0, n is 1, and p is 1.

41. The compound of claim 40, wherein A is a covalent bond, and R<sup>1</sup> is  
hydrogen.

- 25 42. The compound of claim 41, wherein R<sup>3</sup> is optionally substituted phenyl and  
Y<sup>2</sup> is methylene.

43. The compound of claim 42, wherein R<sup>4</sup> is alkyl of 1-8 carbon atoms and T is  
oxygen.

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44. The compound of claim 43, wherein R<sup>3</sup> is 4-t-butylphenyl and R<sup>4</sup> is methyl, namely 6-{{[4-(tert-butyl)phenoxy]methyl}-4-pentylthio-1,3,5-triazine-2-ylamine.

45. The compound of claim 43, wherein R<sup>3</sup> is 4-t-butylphenyl and R<sup>4</sup> is n-pentyl,  
5 namely 6-{{[4-(tert-butyl)phenoxy]methyl}-4-pentylthio-1,3,5-triazine-2-ylamine.

46. The compound of claim 43, wherein R<sup>3</sup> is 3-chlorophenyl, R<sup>4</sup> is methyl, and R<sup>5</sup> is hydrogen, namely 4-[(3-chlorophenylamino)methyl]-6-methylthio-  
[1,3,5]triazin-2-ylamine.

47. The compound of claim 43, wherein R<sup>3</sup> is 2,4-dimethoxyphenyl, R<sup>4</sup> is methyl, and R<sup>5</sup> is hydrogen, namely N-{{[(3,5-dimethoxyphenyl)aminomethyl]-4-methylthio-  
1,3,5-triazine-2-ylamine.

48. The compound of claim 41, wherein A is -C(O)NH-, and R<sup>1</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted cycloalkyl, or optionally substituted heterocyclyl.

49. The compound of claim 48, wherein R<sup>3</sup> is optionally substituted phenyl and Y<sup>2</sup> is methylene.

50. The compound of claim 49, wherein R<sup>4</sup> is alkyl of 1-8 carbon atoms and T is oxygen.

51. The compound of claim 50, wherein R<sup>1</sup> is alkyl of 1-6 carbon atoms or alkenyl of 1-6 carbon atoms.

52. The compound of claim 51, wherein R<sup>1</sup> is methyl, ethyl, isopropyl, or allyl, and R<sup>3</sup> is 4-tert-butylphenyl.

53. The compound of claim 52, chosen from N-(6-{{[4-(tert-butyl)phenoxy]methyl}-4-methylthio-(1,3,5-triazine-2-yl)})(ethylamino)carboxamide;



N-(6- {[4-(tert-butyl)phenoxy]methyl} -4-methylthio-(1,3,5-triazine-2-yl))(methylethylamino)-carboxamide; and N-(6- {[4-(tert-butyl)phenoxy]methyl} -4-methylthio-(1,3,5-triazine-2-yl))(prop-2-enylamino)carboxamide.

5 54. The compound of claim 40, wherein A is -C(O)-, R<sup>2</sup> is hydrogen, and R<sup>4</sup> is alkyl of 1-8 carbon atoms.

55. The compound of claim 54, wherein T is oxygen, R<sup>1</sup> is alkyl of 1-6 carbon atoms or heterocyclyl, and R<sup>3</sup> is optionally substituted phenyl.

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56. The compound of claim 55, wherein R<sup>1</sup> is 2-thiophenyl and R<sup>4</sup> is methyl, namely N-(6- {[4-(tert-butyl)phenoxy]methyl-4-methylthio-1,3,5-triazine-2-thienyl}carboxamide.

15 57. The compound of claim 35, wherein X<sup>2</sup> and X<sup>3</sup> are nitrogen and X<sup>1</sup> is -CH-.

58. The compound of claim 57, wherein R<sup>2</sup> is hydrogen, R<sup>4</sup> is optionally substituted alkyl and Z is sulfur.

20 59. The compound of claim 58, wherein R<sup>3</sup> is optionally substituted aryl or optionally substituted heteroaryl,

60. The compound of claim 59, wherein m is 0, n is 1, and p is 1.

25 61. The compound of claim 60, wherein R<sup>3</sup> is optionally substituted phenyl, Y<sup>2</sup> is methylene, A is a covalent bond, and R<sup>1</sup> is hydrogen.

62. A pharmaceutical composition comprising at least one pharmaceutically acceptable excipient and a therapeutically effective amount of a compound of claim 1.

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63. A pharmaceutical composition comprising at least one pharmaceutically acceptable excipient and a therapeutically effective amount of a compound of claim 36.